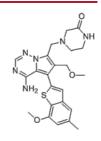


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Data Sheet

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Product Name	:	Rogaratinib
Cat. No.	:	PC-61963
CAS No.	:	1443530-05-9
Molecular Formula	:	C ₂₃ H ₂₆ N ₆ O ₃ S
Molecular Weight	:	466.56
Target	:	FGFR
Solubility	:	10 mM in DMSO (Ultrasonic needed)



Biological Activity

Rogaratinib (BAY 1163877) is a potent, selective, orally available **pan-FGFR** with IC50 of 15, <1, 19 and 33 nM for FGFR1, 2, 3 and 4, respectively.

BAY 1163877 weakly inhibits VEGFR2 with IC50 of 120 nM, exhibits Ki of 1.6, 5.0, 7.8 and 7.6 nM for FGFR1, 2, 3 and 4 in competition binding assay, no significant affitnity for VEGFR2 (19% inhibition at 100 nM).

BAY 1163877 inhibits FGFb-stimulated HUVEC proliferation with IC50 of 16 nM, 28-fold selectivity over VEGF-stimulated. BAY 1163877 demonstrates tumor growth reduction in pre-clinical models bearing different FGFR alterations both in monoand combination therapy.

References

Kim SM, et al. Oncogenesis. 2016 Jul 18;5(7):e241.

Collin MP, et al. ChemMedChem. 2018 Feb 16. doi: 10.1002/cmdc.201700718.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com